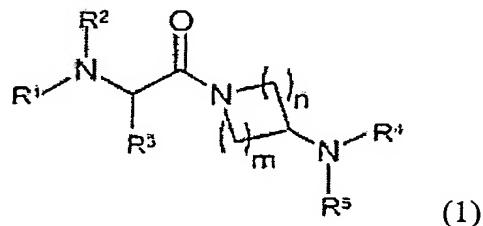


CLAIMS

1. A compound of the following formula (1):



in which

m and n each independently represents 1 or 2,

R¹ represents

- hydrogen,
- (CH₂)_p-R⁶,
- (CH₂)_p-CO-(CH₂)_p-R⁶,
- (CH₂)_p-CO-(CH₂)_p-CH(R⁶)(R¹⁰), or
- (CH₂)_p-SO₂-(CH₂)_p-R⁶,

wherein

p independently represent 0, 1, 2, or 3,

R⁶ represents C₁-C₁₀-alkyl, C₁-C₈-alkoxy, C₃-C₈-cycloalkyl, heterocycle, aryl, heteroaryl, amino, or hydroxy, in each of which is unsubstituted or mono- or poly-substituted by one or more substituents selected from the group consisting of C₁-C₁₀-alkyl, C₁-C₁₀-dialkyl, C₃-C₁₃-cycloalkyl, C₃-C₁₃-dicycloalkyl, C₃-C₁₃-tricycloalkyl, perhalo-C₁-C₈-alkyl, aryl, heteroaryl, heterocycle, hydroxy, C₁-C₈-alkoxy, C₁-C₈-alkoxy-C₁-C₈-alkoxy, trifluoromethoxy, aryl-C₁-C₈-alkyloxy, aryloxy, oxo, mercapto, C₁-C₈-alkylcarbonyl, C₁-C₈-alkoxycarbonyl, C₁-C₈-alkylsulfonyl, arylsulfonyl, C₁-C₈-alkylthio, arylthio, cyano, formyl, halogen, carbonyl, thiocarbonyl, C₃-C₈-cycloalkylcarbonyl, arylcarbonyl, ar-C₁-C₈-alkyl, ar-C₁-C₈-alkylcarbonyl, ar-C₁-C₈-alkylsulfonyl, O-carbamoyl, N-carbamoyl, O-thiocarbamoyl, N-thiocarbamoyl,

carbamoyl, C₁-C₈-alkylcarbamoyl, di(C₁-C₈-alkyl)carbamoyl, O-sulfoneamido, N-sulfonamido, carboxy, isocyanato, thiocyanato, isothiocyanato, nitro, trihalomethanesulfonyl, amino, C₁-C₆-alkylamino, di(C₁-C₆-alkyl)amino, and protective derivatives thereof,

R¹⁰ represents heterocycle, or represents amino or hydroxy, in each of which is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R⁷,

wherein,

R⁷ represents halogen, amino, C₁-C₆-alkylamino, di(C₁-C₆-alkyl)amino, hydroxy, C₁-C₈-alkoxy, trifluoromethoxy, C₁-C₆-alkylcarbonyl, carboxy, C₁-C₈-alkyl, mercapto, C₁-C₁₀-alkylthio, phenoxy, C₁-C₈-alkoxycarbonyl, arylcarbonyl, carbamoyl, C₁-C₆-alkylsulfonyl, arylsulfonyl, cyano or oxo,

R⁶ and R¹⁰ may form 5- or 6-membered single ring together with the atoms to which they attached,

hydrogen atom in -(CH₂)_p- group can be replaced by R⁶,

R² represents

hydrogen,

C₁-C₈-alkyl which is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R⁷,

C₃-C₇-cycloalkyl, or

-CO-(CH₂)_p-R⁶,

R¹ and R² together with the atoms to which they attached, may form 4- or 8-membered single ring or two ring which can contain heteroatom selected from the group consisting of O, S and N-(C₁-C₄-alkyl),

R³ and R⁴ each independently represents

hydrogen,

C₁-C₈-alkyl,

-(CH₂)_p-C₃-C₈-cycloalkyl,

-(CH₂)_p-C₆-C₁₀-aryl,
-(CH₂)_p-heteroaryl, or
-(CH₂)_p-heterocycle,

wherein, alkyl, cycloalkyl, heterocycle, aryl, or heteroaryl, in each of which is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R⁷,

R⁵ represents

hydrogen,
C₁-C₆-alkyl,
-(CH₂)_p-CO-R⁸,
-(CH₂)_p-C(O)N(R⁸)(R⁹),
-(CH₂)_p-C(S)N(R⁸)(R⁹),
-(CH₂)_p-SO₂-N(R⁸)(R⁹), or
-(CH₂)_p-SO₂-R⁸,

wherein,

R⁸ and R⁹ each independently represents

hydrogen,
C₁-C₈-alkyl,
C₁-C₆-alkoxy,
C₁-C₆-alkylthio,
C₃-C₇-cycloalkyl,
C₃-C₇-cycloalkenyl,
heterocycle,
aryl, or
heteroaryl,

wherein

alkyl, cycloalkyl, or aryl is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R⁷, C₃-C₈-cycloalkyl, heterocycle, hydroxy-C₁-C₈-alkyl, halogen-C₁-C₈-alkyl, C₁-C₈-alkoxy-C₁-C₈-alkyl, amino-C₁-C₈-alkyl, C₃-C₈-cycloalkyloxy, ar-C₁-C₈-alkyloxy, aryloxy, arylthio, formyl, C₁-C₈-alkylcarbamoyl, di(C₁-C₈-alkyl)carbamoyl, C₁-C₈-alkylcarbonyloxy, C₁-C₈-alkoxy-C₁-C₈-alkoxy, C₃-C₈-cycloalkylcarbonyl, ar-C₁-C₈-alkylcarbonyl, C₂-C₈-alkanoyloxy, C₃-

C₈-cycloalkylcarbonyloxy, arylcarbonyloxy which is unsubstituted or substituted by halogen, ar-C₁-C₈-alkylcarbonyloxy, C₁-C₈-alkoxyimino, ar-C₁-C₈-alkylsulfonyl, and C₁-C₈-alkylsulfonyloxy,

heterocycle, cycloalkenyl, or heteroaryl is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R⁷, and hydroxy-C₁-C₈-alkyl,

R⁴ and R⁵ together with the atoms to which they attached, may form 4- or 8-membered single ring or two ring which can contain heteroatom selected from the group consisting of O, S and N-(C₁-C₄-alkyl).

2. The compound according to claim 1 wherein

R¹ represents hydrogen, -(CH₂)_p-R⁶, -(CH₂)_p-CO-R⁶, -CO-(CH₂)_p-R⁶, -(CH₂)_p-CO-(CH₂)_p-CH(R⁶)(R¹⁰), or -SO₂-(CH₂)_p-R⁶,

R⁶ represents C₁-C₁₀-alkyl, C₁-C₈-cycloalkyl, heterocycle, aryl, or heteroaryl, or represent amino or hydroxy,

hydrogen atom in -(CH₂)_p- group can be replaced by R⁶,

wherein

C₁-C₁₀-alkyl, C₁-C₈-cycloalkyl, heterocycle, aryl, or heteroaryl is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R⁷,

amino or hydroxy is unsubstituted or mono- or di-substituted by the substituents selected from the group consisting of C₁-C₁₀-alkyl, ar-C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₂-C₈-alkylcarbonyl, C₃-C₈-cycloalkylcarbonyl, arylcarbonyl, ar-C₁-C₈-alkylcarbonyl, C₁-C₈-alkoxycarbonyl, carbamoyl, C₁-C₈-alkylcarbamoyl, di(C₁-C₈-alkyl)carbamoyl, C₁-C₈-alkylsulfonyl, arylsulfonyl, and ar-C₁-C₈-alkylsulfonyl,

R¹⁰ is defined as Claim 1,

R^6 and R^{10} may form 5- or 6-membered single ring together with the atoms to which they attached, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

3. The compound according to claim 2 wherein

R^1 represents hydrogen, $-(CH_2)_p-R^6$, $-(CH_2)_p-CO-R^6$, $-CO-(CH_2)_p-R^6$, or $-(CH_2)_p-CO-(CH_2)_p-CH(R^6)(R^{10})$, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

4. The compound according to claim 3 wherein

R^1 represents hydrogen, $-R^6$ or $-CO-CH(R^6)(R^{10})$,

R^{10} represents heterocycle, or represents amino or hydroxy, in each of which is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R^7 ,

R^6 and R^{10} may form 5- or 6-membered single ring together with the atoms to which they attached, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

5. The compound according to claim 1 wherein

R^2 represents hydrogen or C_1-C_6 -alkyl, and

pharmaceutically acceptable salt, hydrate, solvate or isomer thereof.

6. The compound according to claim 1 wherein

R^3 represents C_1 - C_8 -alkyl, $-(CH_2)_p-C_3-C_7$ -cycloalkyl, $-(CH_2)_p$ -phenyl, or $-(CH_2)_p$ -heteroaryl, in each of which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of R^7 , and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

7. The compound according to claim 6 wherein

R^3 represents $-CH_2$ -cyclohexyl or $-CH_2$ -phenyl, in each of which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of halogen, cyano, hydroxy, C_1 - C_8 -alkoxy, trifluoromethoxy and C_1 - C_4 -alkyl, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

8. The compound according to claim 7 wherein

R^3 represents $-CH_2$ -phenyl, in which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of chloro, bromo, cyano, hydroxy, methoxy and methyl, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

9. The compound according to claim 1 wherein

R^4 represents C_1 - C_8 -alkyl, or represent C_3 - C_8 -cycloalkyl, phenyl, heteroaryl, or heterocycle, in each of which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of R^7 , and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

10. The compound according to claim 9 wherein

R^4 represents C_3 - C_8 -cycloalkyl or phenyl, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

11. The compound according to claim 10 wherein

R^4 represents cyclohexyl, cycloheptyl or cyclopentyl, in each of which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of methyl, ethyl, t-butyl, hydroxy and oxo, or represent phenyl unsubstituted or mono- to tri-substituted by substituents from the group consisting of fluoro, chloro, methoxy and methyl, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

12. The compound according to claim 1 wherein

R^5 represents hydrogen, C_1-C_6 -alkyl, $-(CH_2)_p-CO-R^8$, $-(CH_2)_p-C(O)N(R^8)(R^9)$, or $-(CH_2)_p-SO_2-R^8$, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

13. The compound according to claim 12 wherein

R^5 represents $-CO-R^8$ or $-C(O)N(R^8)(R^9)$, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

14. The compound according to claim 13 wherein

R^8 and R^9 each independently represents hydrogen, methoxy, amino, C_1-C_8 -alkyl, C_3-C_6 -cycloalkyl, C_5-C_6 -cycloalkenyl, heterocycle, or phenyl,

wherein, C_1-C_8 -alkyl or C_3-C_6 -cycloalkyl is unsubstituted or mono-substituted by the substituents selected from the group consisting of methyl, hydroxy, amino, C_1-C_4 -alkoxy, phenoxy, benzyloxy, fluoro, phenylsulfoxy, acetyl, methoxymethylalkoxy, carboxy, formyl, methoxycarbonyl, dimethylcarbamoyl, carboxy, phenylcarbonyloxy, methoxycarbonyl, difluorophenylcarbonyloxy, dimethylphenylcarbonyloxy, cyclohexylcarbonyloxy, arylcarbonyloxy, and oxo,

C₅-C₆-cycloalkenyl represents cyclopentyl or cyclohexyl substituted by hydroxy or amino,

heterocycle or phenyl is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of hydroxy, methyl, amino, nitrobenzenesulfonyl, and oxo, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

15. The compound according to any one of claims 4, 8, 11, 13, and 14 wherein

R¹ represents hydrogen, -R⁶ or -CO-CH(R⁶)(R¹⁰),

R¹⁰ represents heterocycle, or represents amino or hydroxy, in each of which is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R⁷,

R⁶ and R¹⁰ may form 5- or 6-membered single ring together with the atoms to which they attached,

R³ represents -CH₂-phenyl, in which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of chloro, bromo, cyano, hydroxy, methoxy and methyl,

R⁴ represents cyclohexyl, cycloheptyl or cyclopentyl, in each of which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of methyl, ethyl, t-butyl, hydroxy and oxo, or represent phenyl unsubstituted or mono- to tri-substituted by substituents from the group consisting of fluoro, chloro, methoxy and methyl,

R⁵ represents -CO-R⁸ or -C(O)N(R⁸)(R⁹),

R⁸ and R⁹ each independently represents hydrogen, methoxy, amino, C₁-C₈-alkyl, C₃-C₆-cycloalkyl, C₅-C₆-cycloalkenyl, heterocycle, or phenyl,

wherein, C₁-C₈-alkyl or C₃-C₆-cycloalkyl is unsubstituted or mono-substituted by the substituents selected from the group consisting of methyl, hydroxy, amino, C₁-C₄-alkoxy, phenoxy, benzyloxy, fluoro, phenylsulfonyl, acetyl, methoxymethylalkoxy, carboxy, formyl, methoxycarbonyl, dimethylcarbamoyl, carboxy, phenylcarbonyloxy, methoxycarbonyl, difluorophenylcarbonyloxy, dimethylphenylcarbonyloxy, cyclohexylcarbonyloxy, arylcarbonyloxy, and oxo,

C₅-C₆-cycloalkenyl represents cyclopentyl or cyclohexyl substituted by hydroxy or amino,

heterocycle or phenyl is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of hydroxy, methyl, amino, nitrobenzenesulfonyl, and oxo, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

16. An agonistic composition of melanocortin receptor comprising the compound of formula 1, and pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof as defined in claim 1 as active ingredients together with pharmaceutically acceptable carrier.
17. The composition according to claim 16 for the prevention and treatment of obesity.
18. The composition according to claim 16 for the prevention and treatment of diabetes.
19. The composition according to claim 16 for the prevention and treatment of inflammation.
20. The composition according to claim 16 for the prevention and treatment of erectile dysfunction.